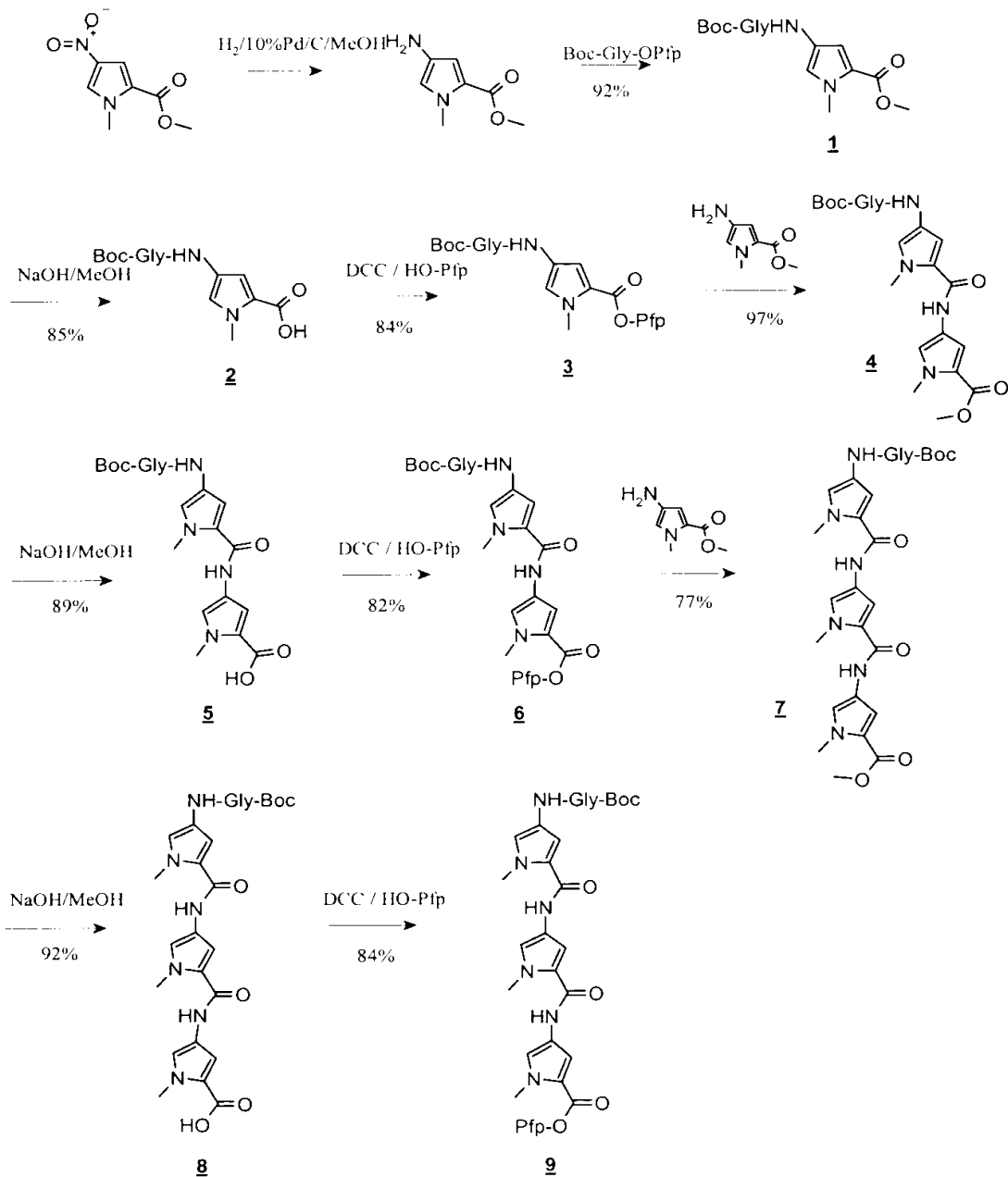
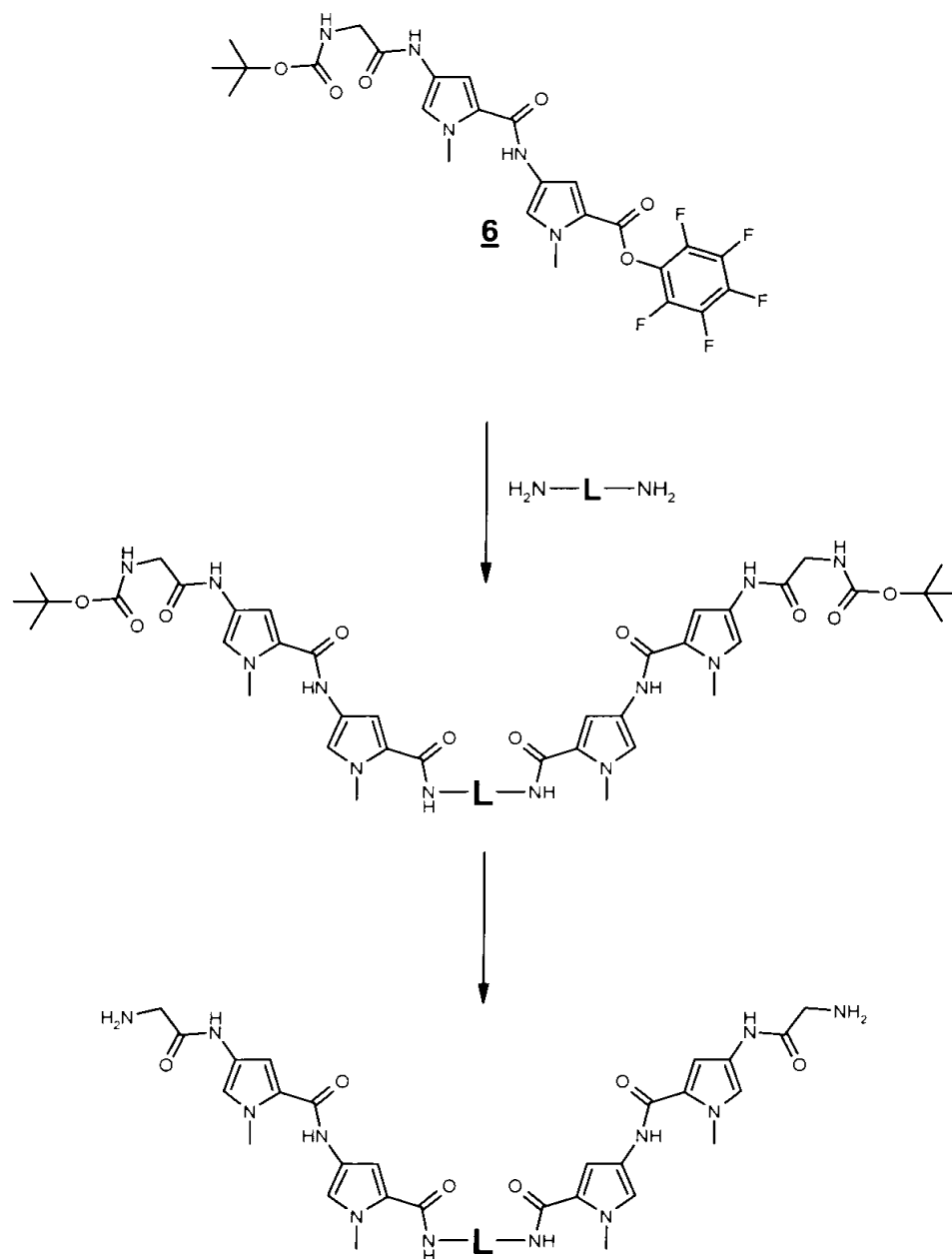


Figure 1



Boc-Gly = Boc-NHCH₂-CO-

Figure 2



10 - 44

$\text{L} = -(\text{CH}_2)_2 -$ **10**

$-(\text{CH}_2)_3 -$ **11**

$-(\text{CH}_2)_4 -$ **12**

$-(\text{CH}_2)_6 -$ **13**

$-(\text{CH}_2)_8 -$ **14**

$-(\text{CH}_2)_{12} -$ **15**

$-\text{CH}(\text{CH}_3)\text{CH}_2 -$ R or S isomer **16, 17**

Figure 3

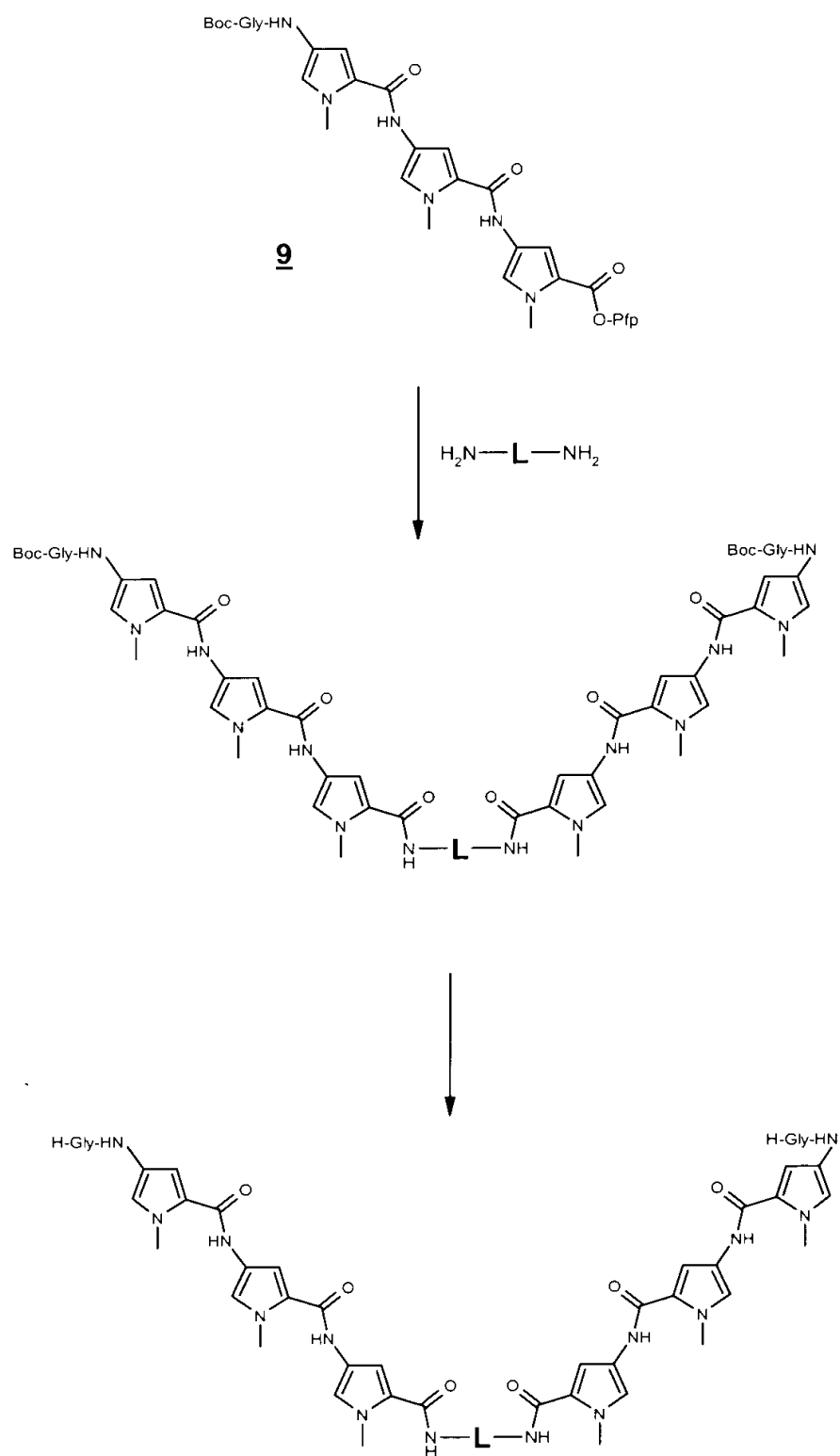


Figure 4

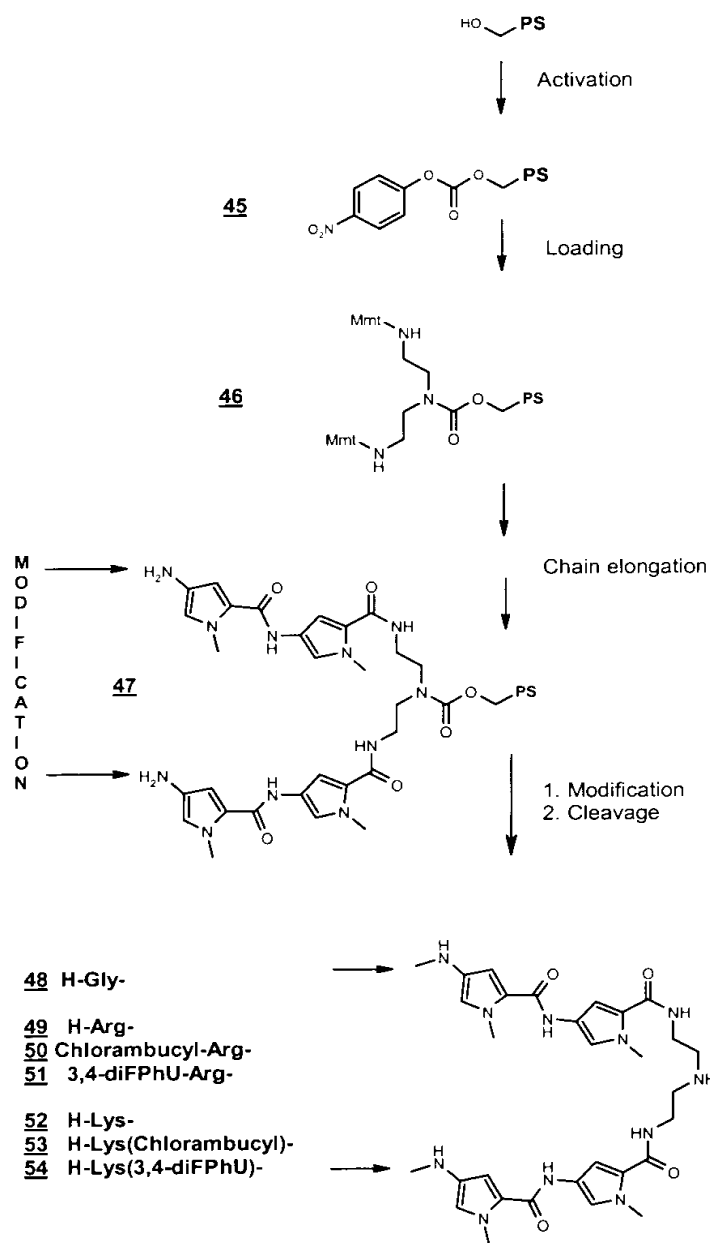


Figure 5

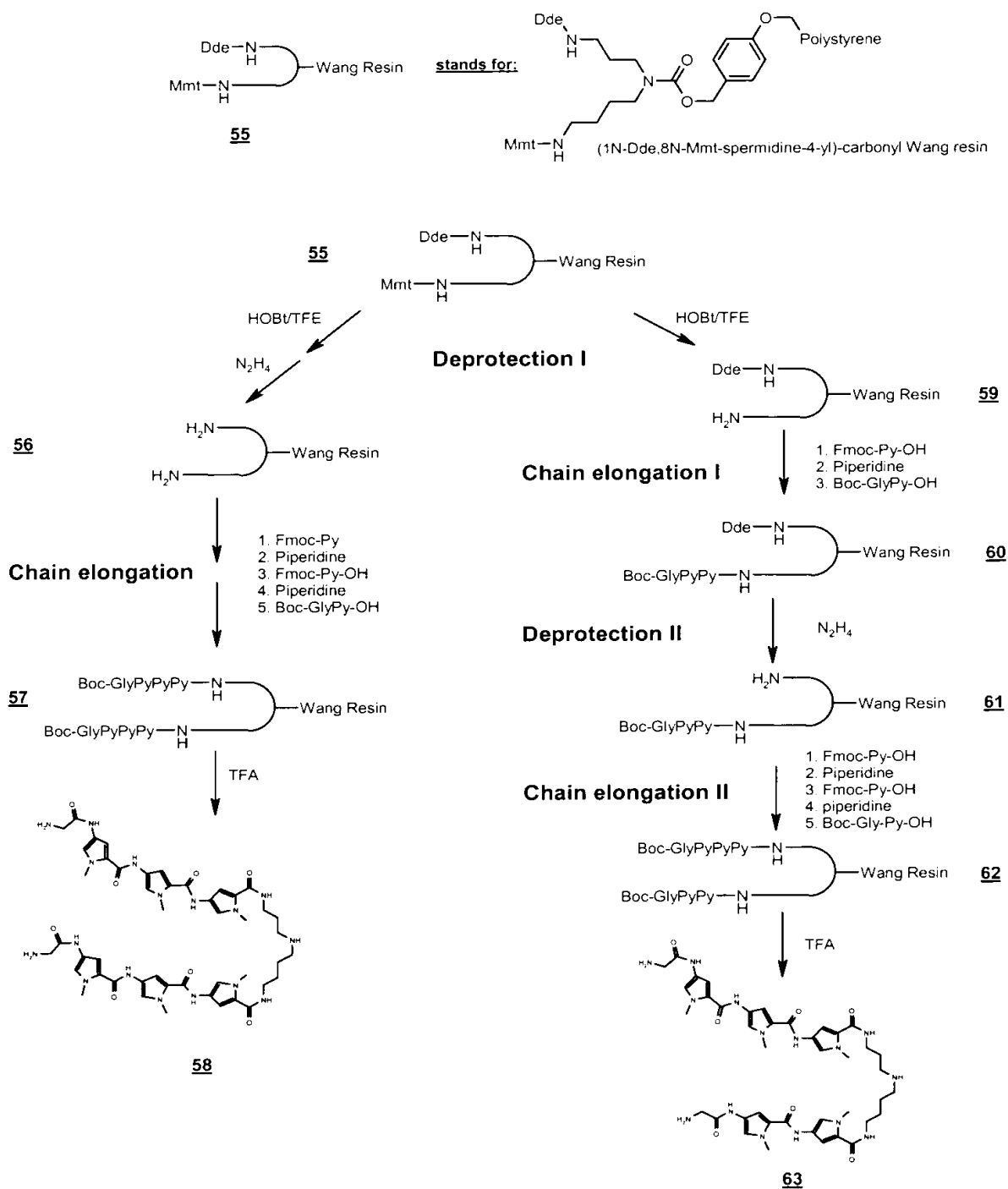


Figure 6

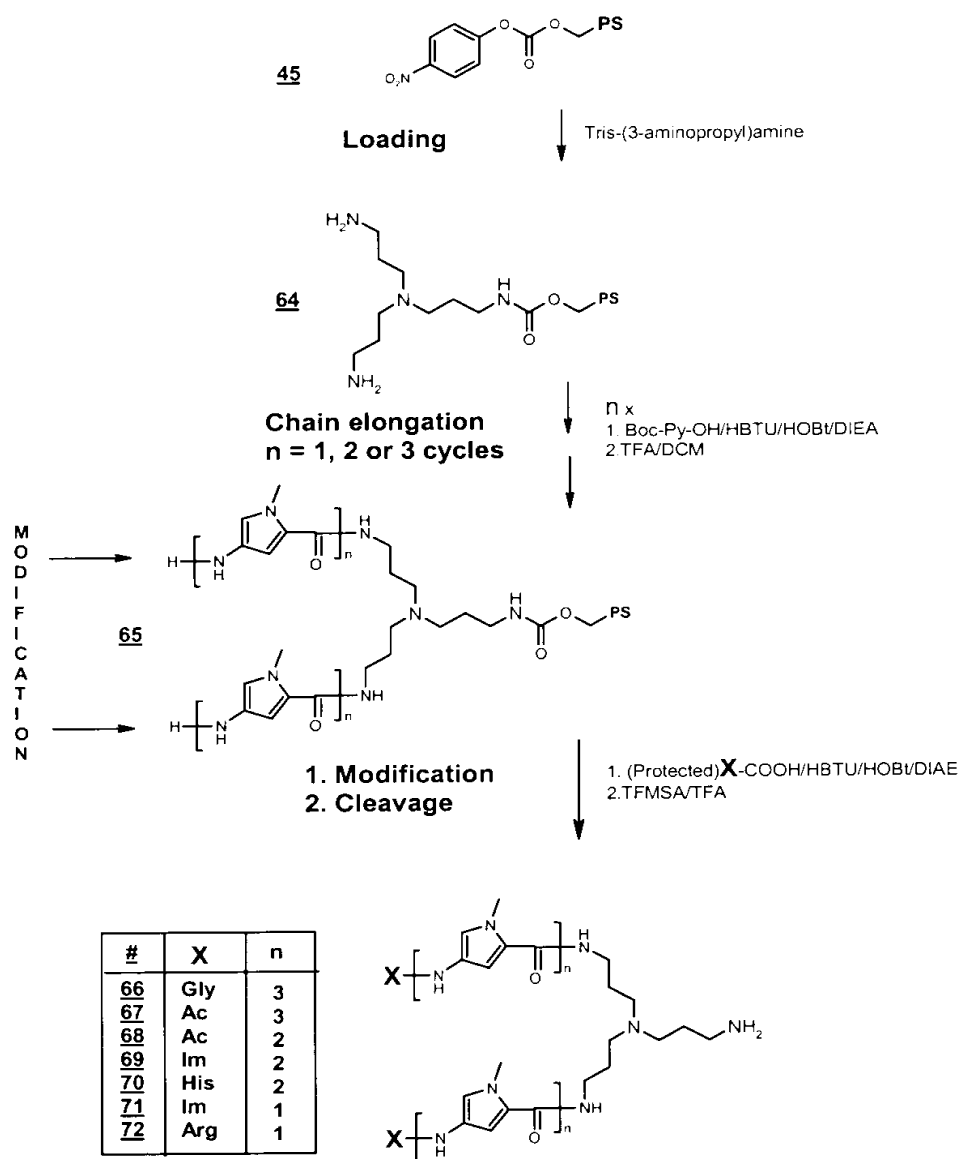


Figure 7

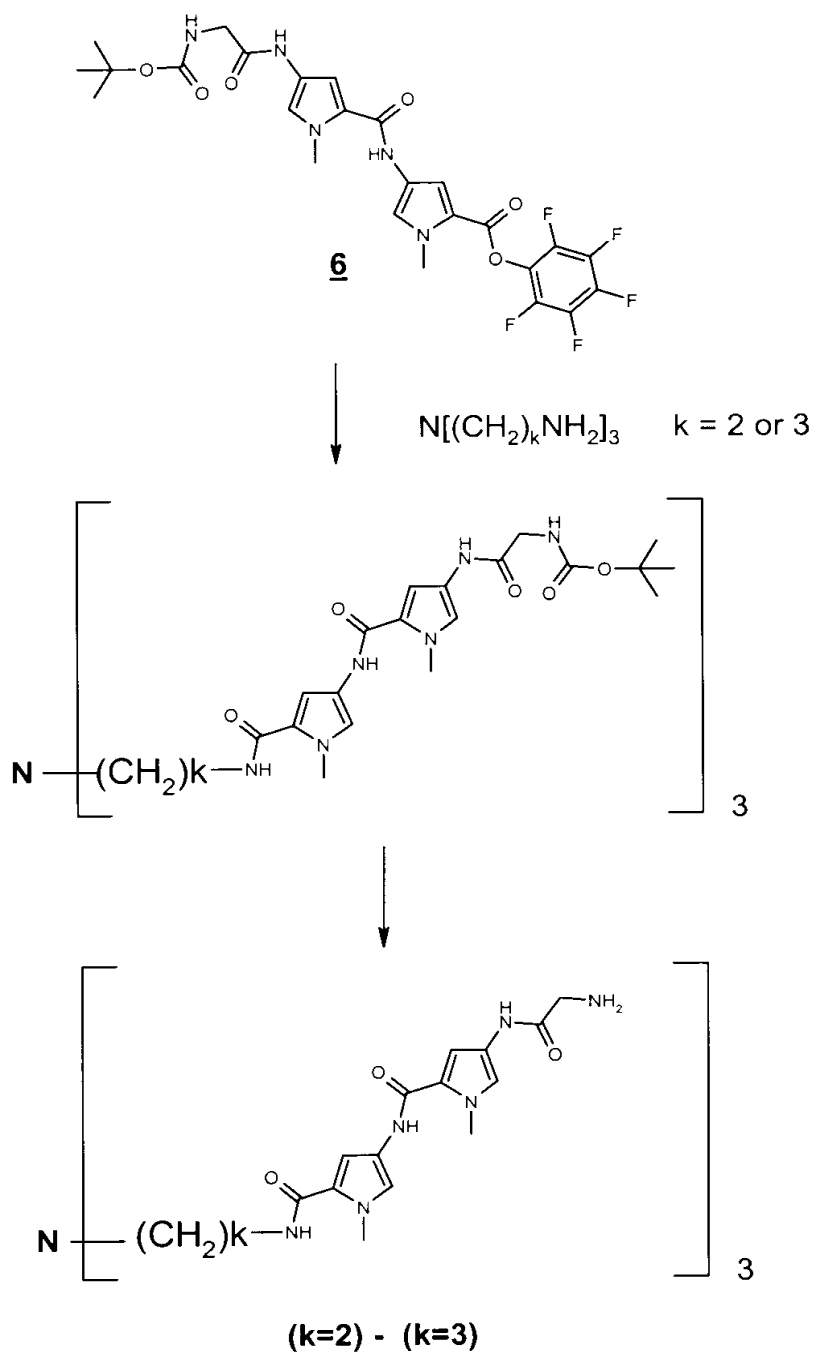


Figure 8

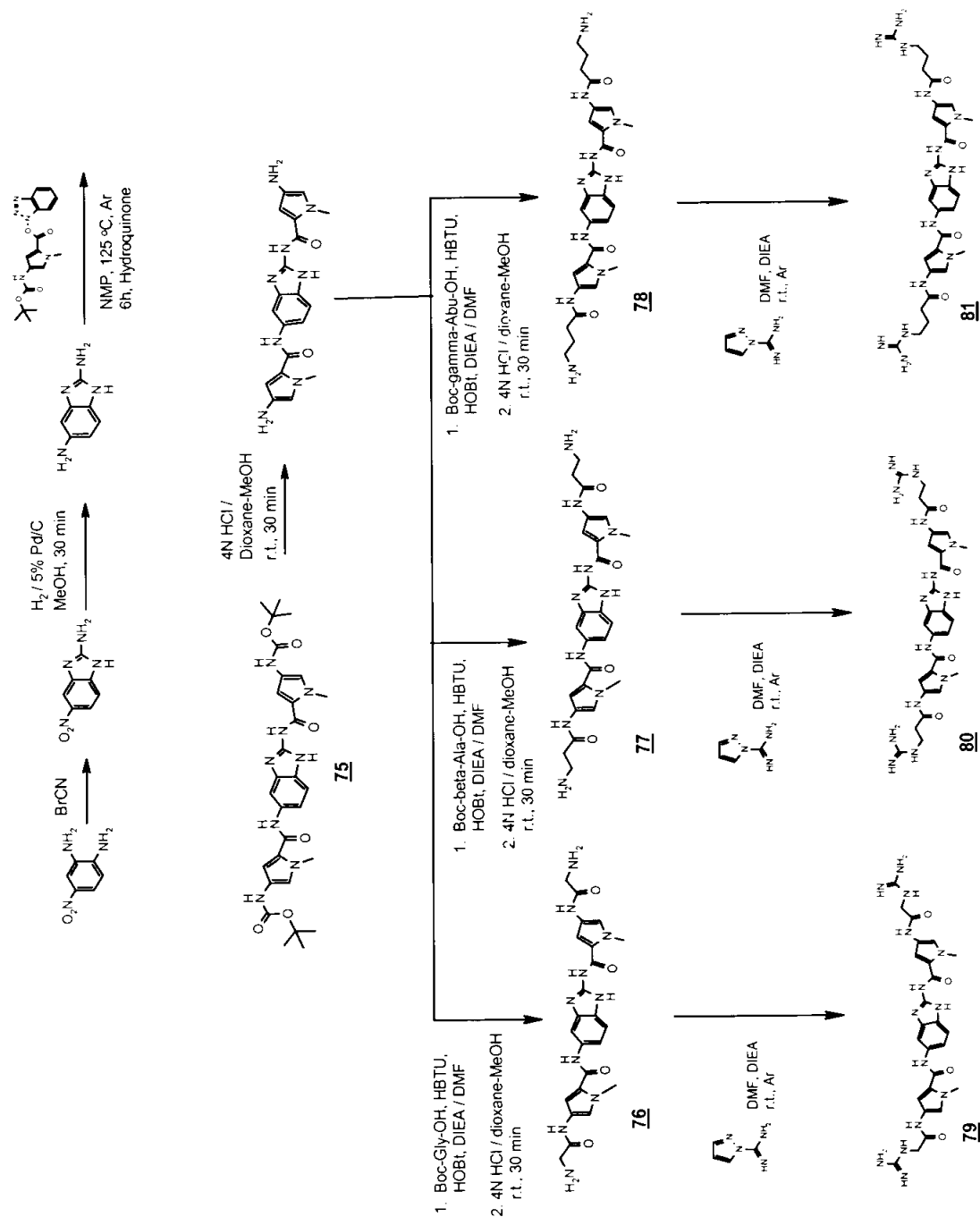
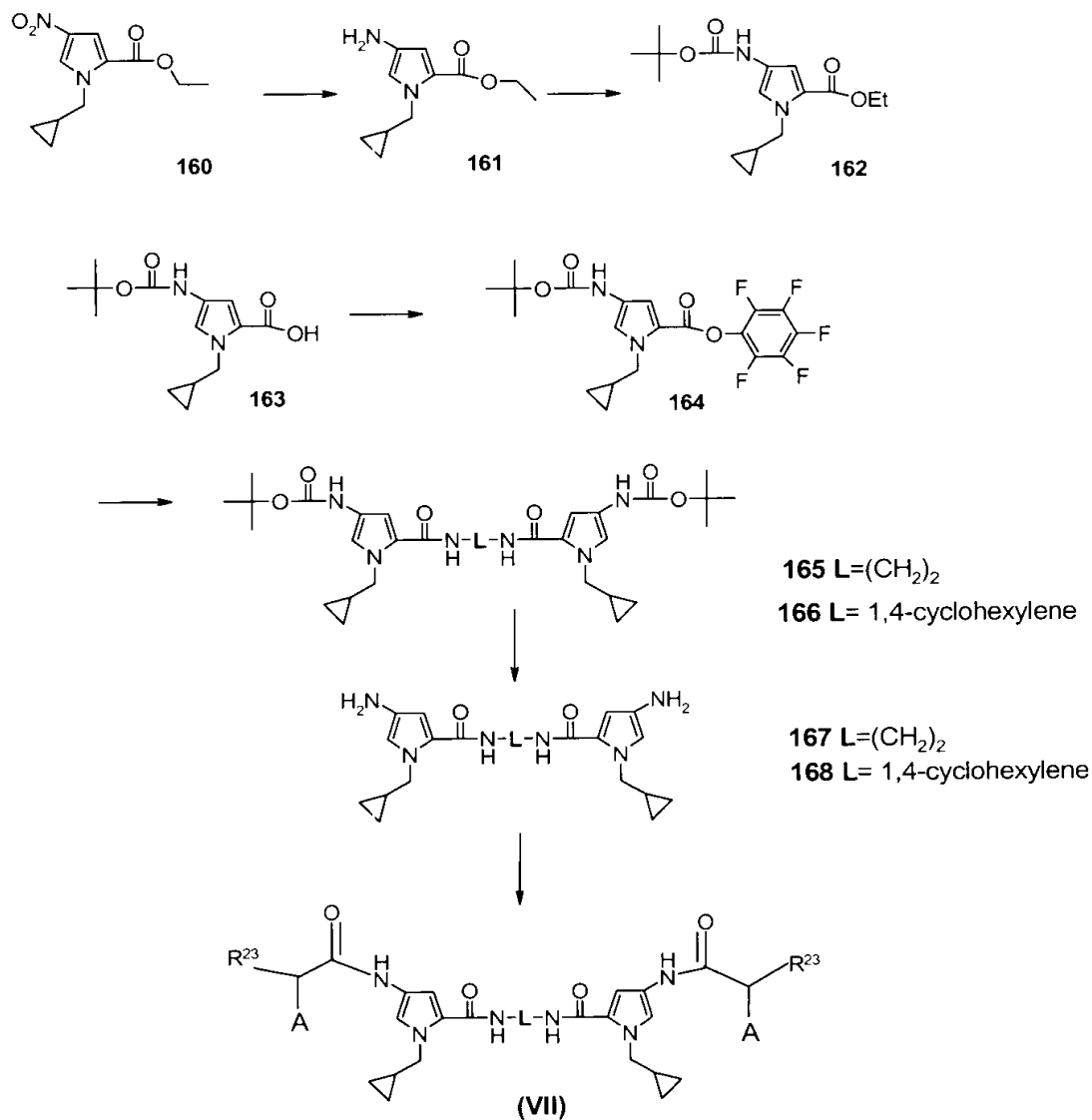


Figure 9



- | | |
|---|---|
| 169 L = (CH ₂) ₂ | A = amino acid side chain of Gly |
| 170 L = (CH ₂) ₂ | A = amino acid side chain of Val |
| 171 L = 1,4-cyclohexylene | A = amino acid side chain of Pro |
| 172 L = 1,4-cyclohexylene | A = amino acid side chain of Pro |
| 173 L = 1,4-cyclohexylene | A = amino acid side chain of His |

R²³ = guanidino, amino, or ornithylamino

Figure 10

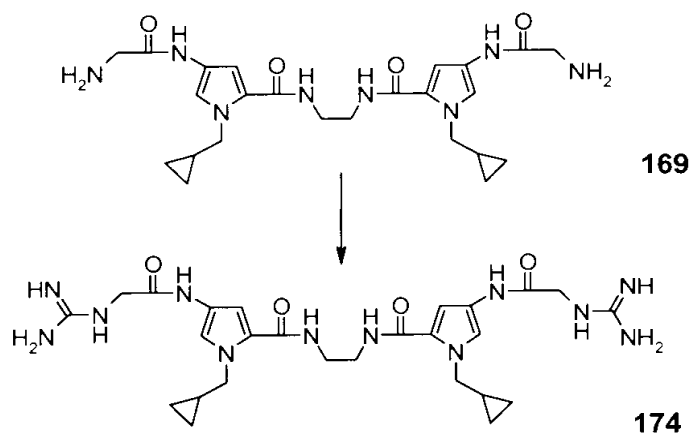


Figure 11

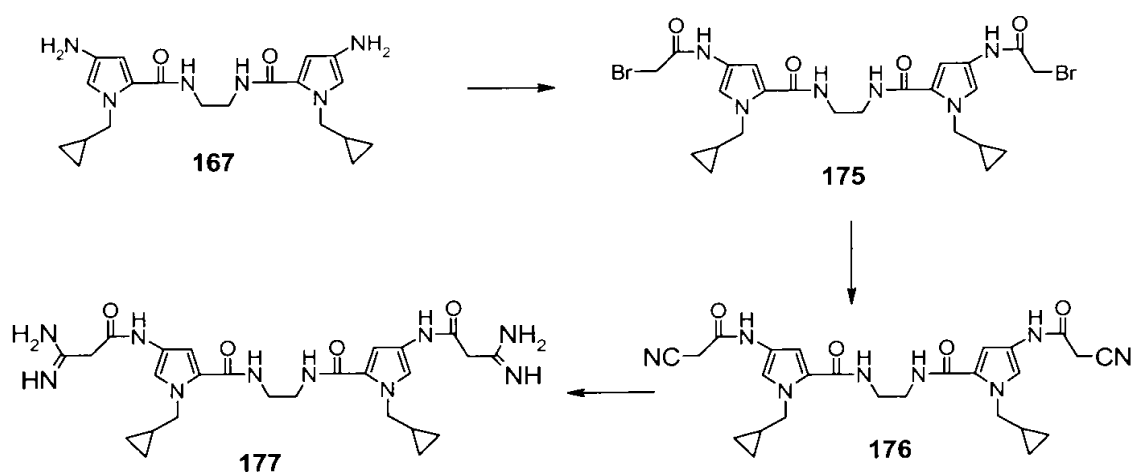
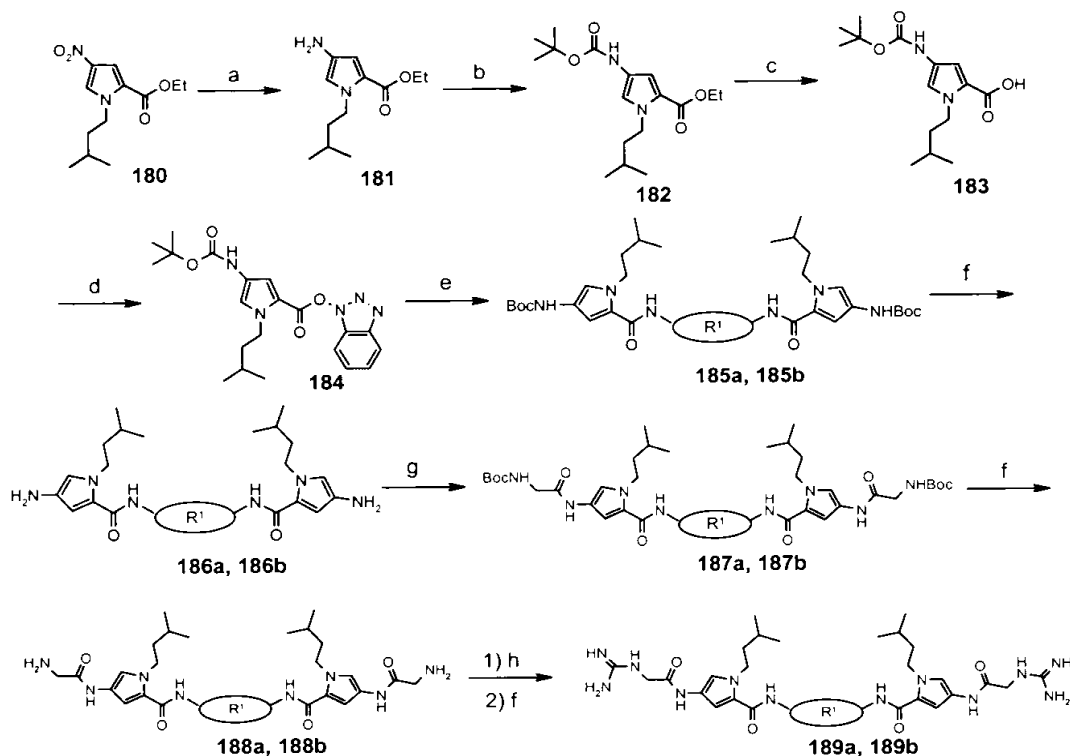
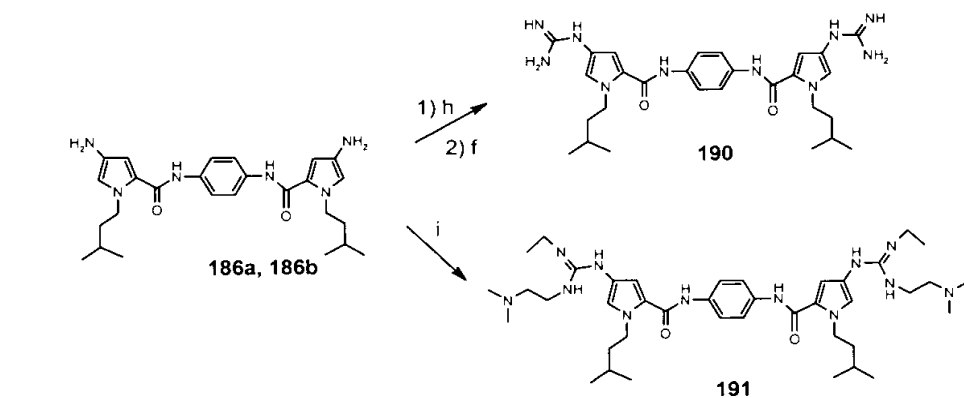


Figure 12

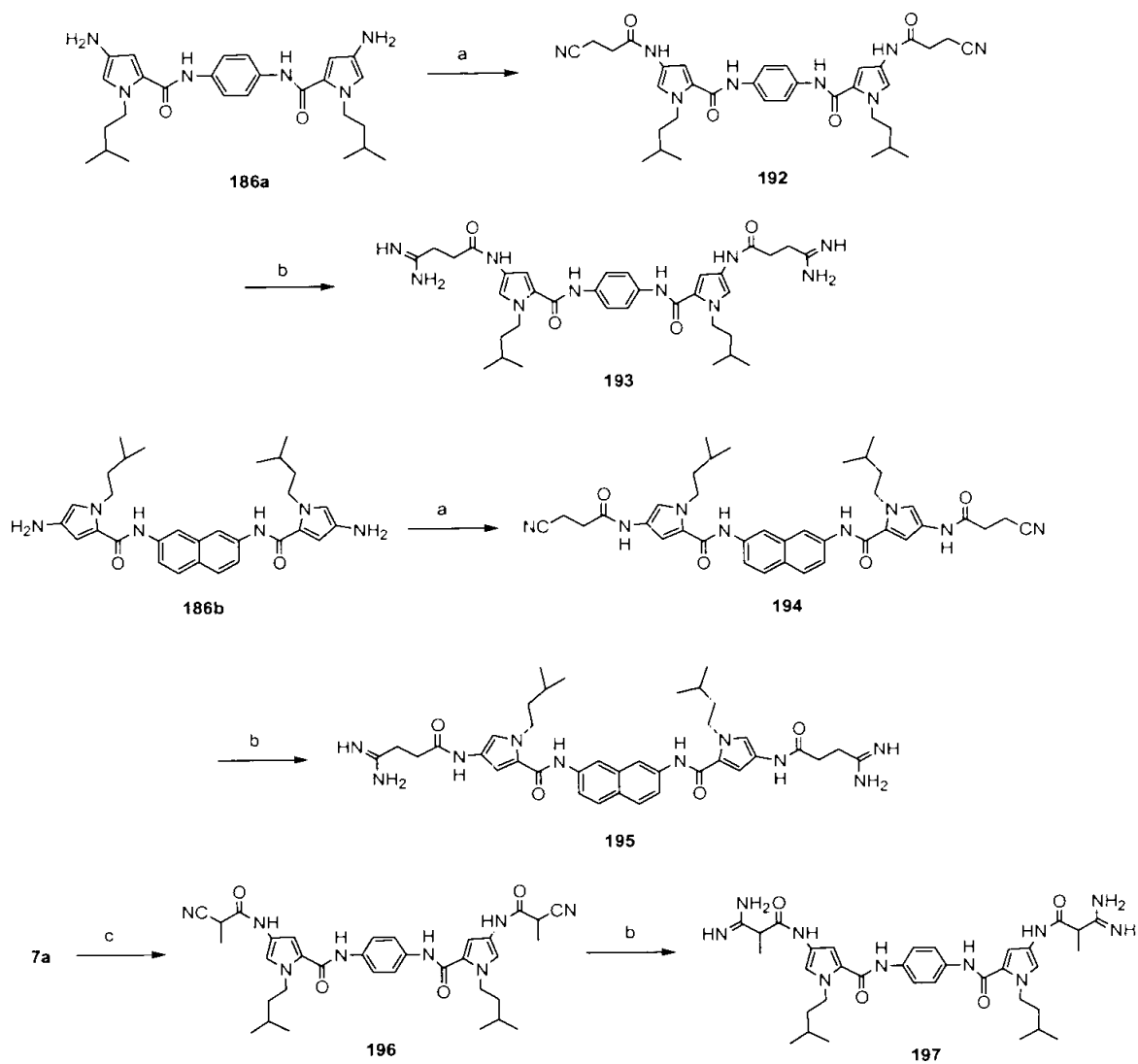


Compound numbers with **a** = 1,4-phenylene derivatives
 Compound numbers with **b** = 2,7-naphthylene derivatives



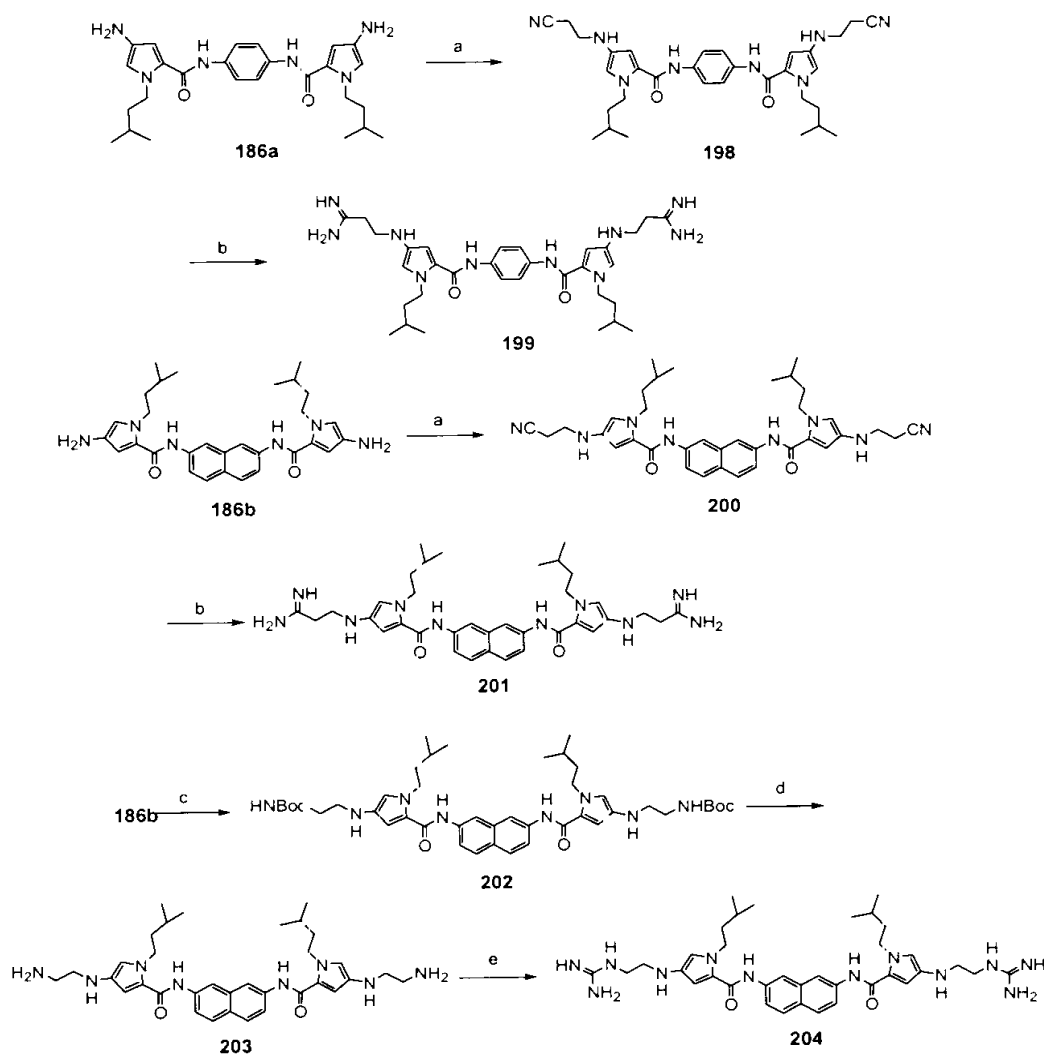
Reaction conditions: (a) H_2 , 5% Pt/C, MeOH; (b) $(Boc)_2O$, DMF; (c) 2 M NaOH/MeOH; (d) HOBT, DCC, DMF; (e) Diamine, NMP; (f) 4 M HCl in 1,4-dioxane/MeOH; (g) Boc-Gly-OH, HBTU, HOBT, DIEA, DMF; (h) BocNHCSNH Boc , $HgCl_2$, DMF, Et_3N ; (i) EDCI, Et_3N , *tert*-BuOH

Figure 13



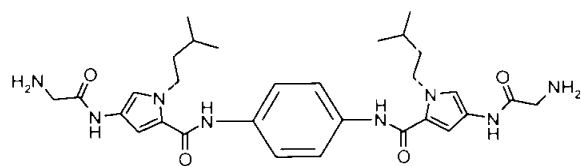
Reaction conditions: (a) 3-Cyanopropionic acid, HBTU, HOBT, DMF; (b) i) HCl/EtOH, ii) NH₃/EtOH; (c) 2-Cyanopropionic acid, HBTU, HOBT, DMF.

Figure 14

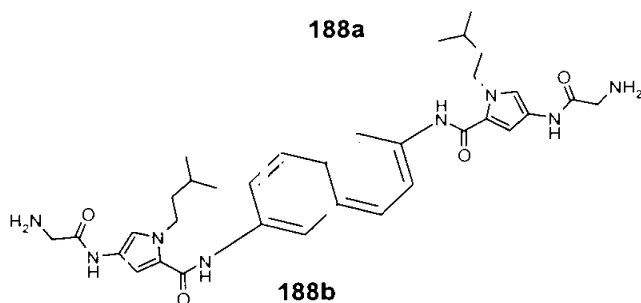


Reaction conditions: (a) 2-Cyanoacetaldehyde, NaCNBH₃, MeOH; (b) i) HCl/EtOH, ii) NH₃/EtOH; (c) 2-*t*-Butoxycarbonylaminoacetaldehyde, NaCNBH₃, MeOH; (d) 4 M HCl in 1,4-dioxane, MeOH; (e) 1*H*-Pyrazole-1-carboxamide hydrochloride, DIEA, DMF.

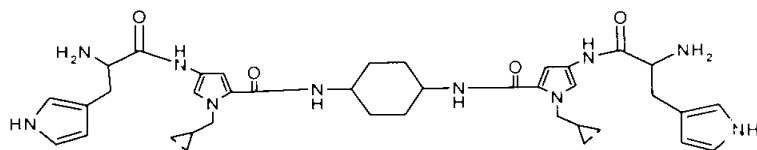
Figure 15



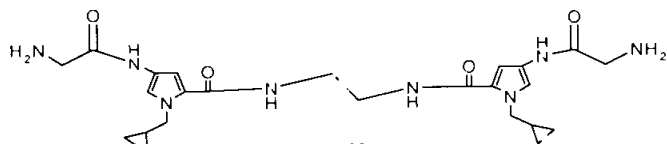
188a



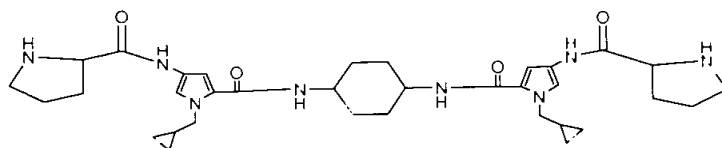
188b



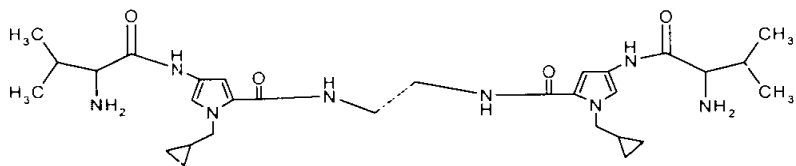
173



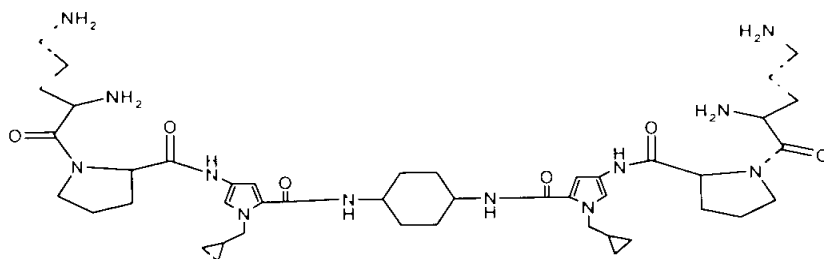
169



171



170



172

Figure 16

